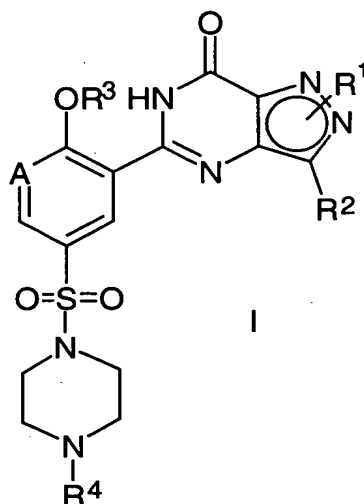


Claims

1. A process for the production of a compound of general formula I:



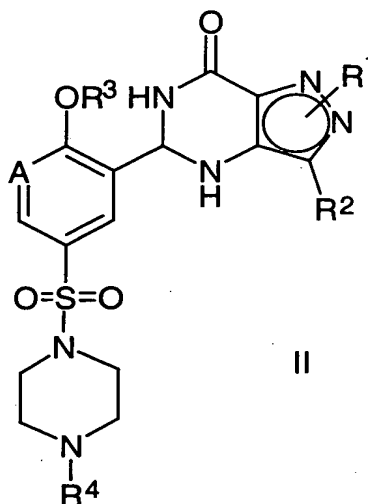
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wherein

A represents CH or N;

- 10 R¹ represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl, OR⁵, C(O)R⁶, C(O)OR⁷, C(O)NR⁸R⁹, NR^{10a}R^{10b} and SO₂NR^{11a}R^{11b};
- 15 R² and R⁴ independently represent lower alkyl;
 R³ represents lower alkyl, which alkyl group is optionally interrupted by oxygen;
 Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;
- 20 R⁵, R⁶, R⁷, R⁸, R⁹, R^{11a} and R^{11b} independently represent H or lower alkyl;
 R^{10a} and R^{10b} either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl or piperidinyl,

which process comprises the dehydrogenation of a compound of general formula II,



wherein A , R^1 , R^2 , R^3 and R^4 are as defined above.

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2. A process as claimed in Claim 1, wherein, in the compound of general formula I, R^1 represents C_{1-4} alkyl, which alkyl group is optionally interrupted by an oxygen atom, and/or is optionally terminated by a Het group.

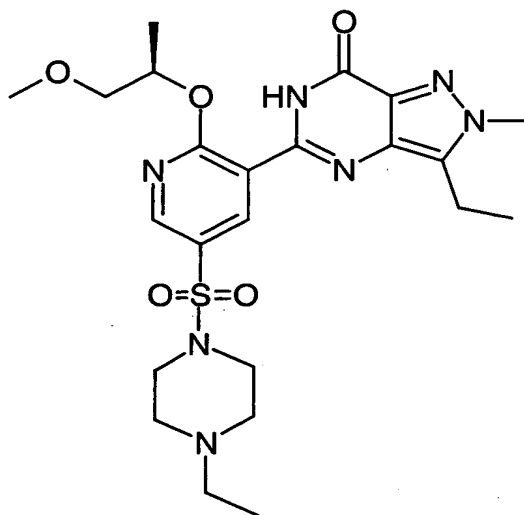
10 3. A process as claimed in Claim 2, wherein R^1 represents linear C_{1-3} alkyl, which alkyl group is optionally interrupted by an oxygen atom, or is optionally terminated by a 2-pyridinyl group.

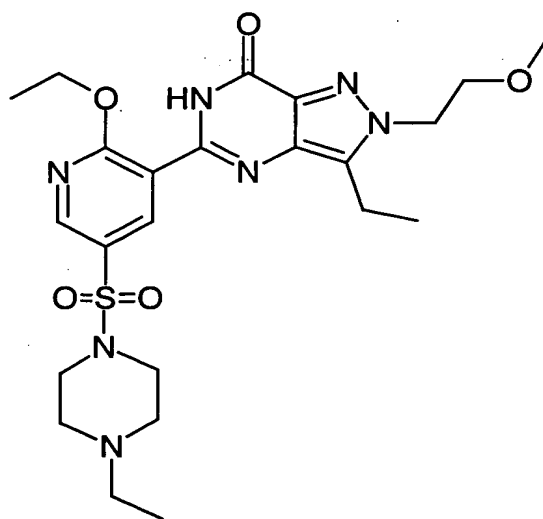
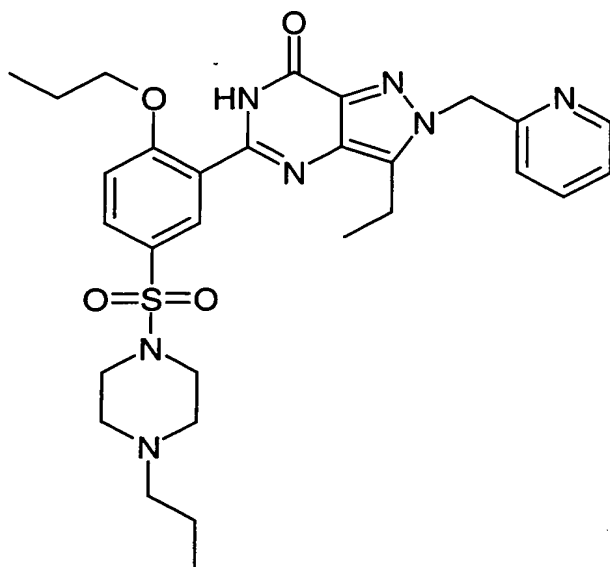
15 4. A process as claimed in any one of the preceding claims, wherein, in the compound of general formula I, R^2 represents C_{1-4} alkyl.

5. A process as claimed in Claim 4, wherein R^2 represents linear C_{2-3} alkyl.

20 6. A process as claimed in any one of the preceding claims, wherein, in the compound of general formula I, R^3 represents C_{1-5} alkyl, which alkyl group is optionally interrupted by an oxygen atom.

7. A process as claimed in Claim 6, wherein R^3 represents linear or branched C_{2-4} alkyl, which alkyl group is optionally interrupted by an oxygen atom.
8. A process as claimed in any one of the preceding claims, wherein, in the
5 compound of general formula I, R^4 represents C_{1-3} alkyl.
9. A process as claimed in Claim 8, wherein R^4 represents C_{1-2} alkyl.
10. A process as claimed in any one of the preceding claims, wherein the
10 compound is selected from sildenafil, or any one of the following four compounds



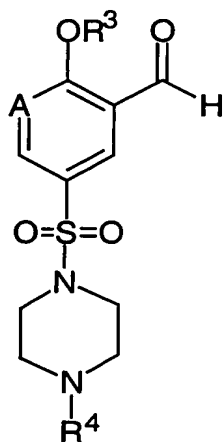


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11. A process as claimed in any one of the preceding claims, wherein the reaction is carried out in the presence of a dehydrogenation agent selected from: palladium on carbon; palladium on carbon in the presence of a hydrogen acceptor and/or an acid; a high oxidation potential quinone; oxygen; MnO_2 ; or triphenylmethanol in trifluoroacetic acid.

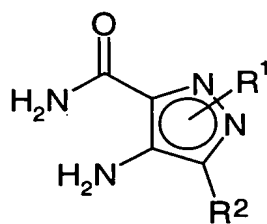
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12. A process as claimed in Claim 11, wherein the palladium on carbon is 5% Pd/C or 10% Pd/C.
13. A process as claimed in Claim 11 or Claim 12, wherein the hydrogen
5 acceptor is cyclohexene or maleic acid.
14. A process as claimed in any one of Claims 11 to 13, wherein the acid is trifluoroacetic acid, HCl or H₂SO₄.
- 10 15. A process as claimed in any one of the preceding claims, wherein the reaction is carried out in the presence of an aromatic hydrocarbon as solvent.
16. A process as claimed in Claim 15, wherein the solvent is toluene or xylene.
- 15 17. A process as claimed in any one of the preceding claims, wherein the reaction is carried out at between 125 and 250°C, at a pressure of between 13.8 and 68.9 kPa (2 and 10 psi), and/or, optionally, in an inert atmosphere.
- 20 18. A process as claimed in any one of the preceding claims, wherein the compound of general formula II is prepared by reaction of a compound of formula III,



III

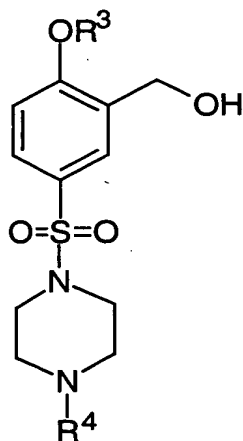
wherein A, R³ and R⁴ are as defined in any one of Claims 1 and 6 to 10 (as appropriate), with a compound of general formula IV,



IV

wherein R¹ and R² are as defined in any one of Claims 1 to 5 and 10.

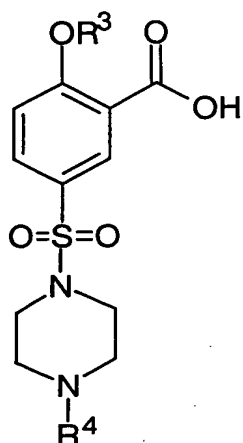
19. A process as claimed in Claim 18, wherein the compound of general formula I is formed in a "one pot" procedure, in which a compound of formula III is reacted with a compound of general formula IV, after which the dehydrogenation reaction is performed directly on the intermediate compound of general formula II, formed *in situ*.
20. A process as claimed in Claim 18 or Claim 19, wherein, in the compound of formula III, A represents CH, and that compound is prepared by oxidation of a compound of formula VI,



VI

- wherein R³ and R⁴ are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

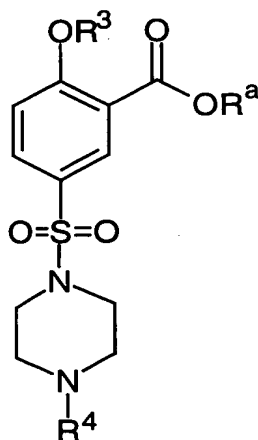
21. A process as claimed in Claim 20, wherein the compound of formula VI is prepared by reduction of a corresponding carboxylic acid of formula VII,



VII

wherein R³ and R⁴ are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

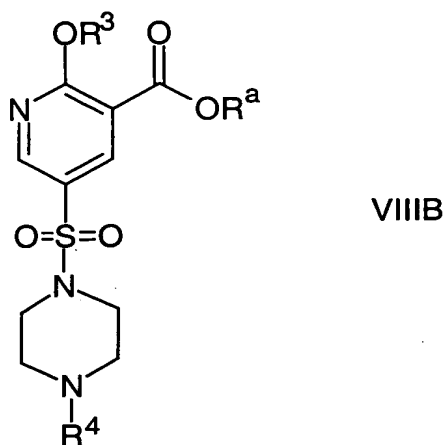
- 5 22. A process as claimed in Claim 20, wherein the compound of formula VI is prepared by esterification of a compound of formula VII as defined in Claim 21 to form a compound of formula VIIIA,



VIIIA

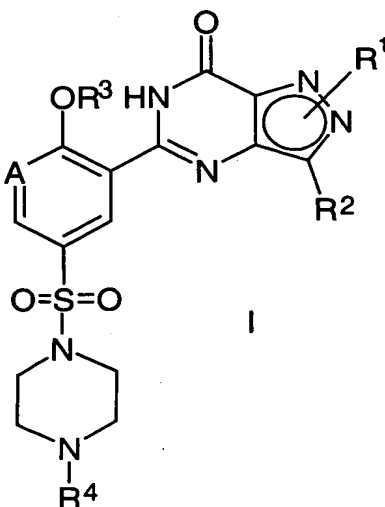
- 10 wherein R^a represents lower alkyl and R³ and R⁴ are as defined in any one of Claims 1 and 6 to 10 (as appropriate), followed by reduction of the ester of formula VIIIA.

- 15 23. A process as claimed in Claim 18 or Claim 19, wherein, in the compound of formula III, A represents N, and that compound is prepared by reduction of a corresponding compound of formula VIIIB,



wherein R^a is as defined in Claim 22, and R³ and R⁴ are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

24. A compound of general formula II as defined in Claim 1.
25. A compound of formula III, as defined in Claim 18.
26. A compound of general formula VI, as defined in Claim 20.
27. A compound of formula VIIIA, as defined in Claim 22.
28. A process for the production of compounds of general formula I:



wherein

A represents CH or N;

- 5 R^1 represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl, OR^5 , $C(O)R^6$, $C(O)OR^7$, $C(O)NR^8R^9$, $NR^{10a}R^{10b}$ and $SO_2NR^{11a}R^{11b}$;

- 10 R^2 and R^4 independently represent lower alkyl;

R^3 represents lower alkyl, which alkyl group is optionally interrupted by oxygen;

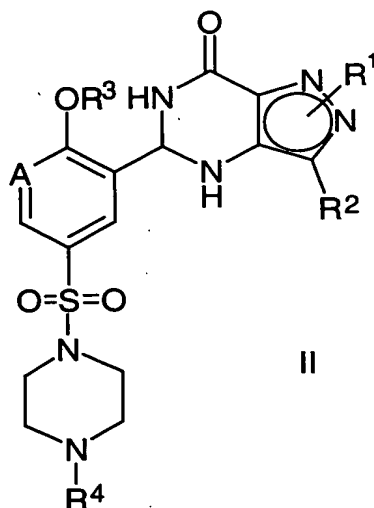
Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;

- 15 R^5 , R^6 , R^7 , R^8 , R^9 , R^{11a} and R^{11b} independently represent H or lower alkyl;

R^{10a} and R^{10b} either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny;

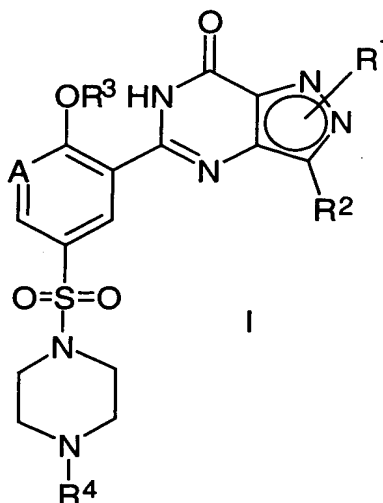
with the proviso that the compound of formula I is not sildenafil;

- 20 which process comprises the dehydrogenation of a compound of general formula II,



wherein A, R^1 , R^2 , R^3 and R^4 are as defined above.

29. A process for the production of compounds of general formula I:



5

wherein

A represents CH;

10 R¹ represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl, OR⁵, C(O)R⁶, C(O)OR⁷, C(O)NR⁸R⁹, NR¹⁰aR¹⁰b and SO₂NR¹¹aR¹¹b;

R² and R⁴ independently represent lower alkyl;

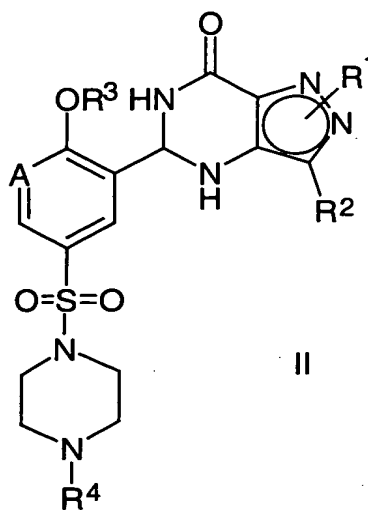
15 R³ represents lower alkyl, which alkyl group is optionally interrupted by oxygen; Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;

R⁵, R⁶, R⁷, R⁸, R⁹, R¹¹a and R¹¹b independently represent H or lower alkyl;

20 R¹⁰a and R¹⁰b either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl or piperidinyl;

with the proviso that the compound of formula I is not sildenafil;

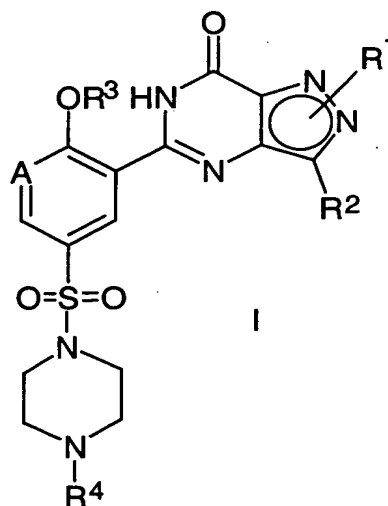
which process comprises the dehydrogenation of a compound of general formula II,



wherein A, R¹, R², R³ and R⁴ are as defined above.

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30. A process for the production of compounds of general formula I:

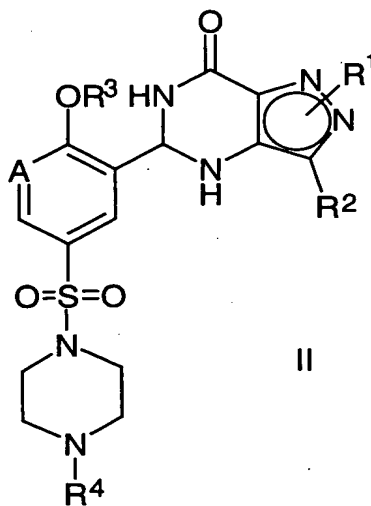


10

wherein

A represents N;

- R^1 represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl, OR^5 , $C(O)R^6$, $C(O)OR^7$, $C(O)NR^8R^9$, $NR^{10a}R^{10b}$ and $SO_2NR^{11a}R^{11b}$;
- R^2 and R^4 independently represent lower alkyl;
- R^3 represents lower alkyl, which alkyl group is optionally interrupted by oxygen;
- Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;
- R^5 , R^6 , R^7 , R^8 , R^9 , R^{11a} and R^{11b} independently represent H or lower alkyl;
- R^{10a} and R^{10b} either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny,
- which process comprises the dehydrogenation of a compound of general formula II,



wherein A, R^1 , R^2 , R^3 and R^4 are as defined above.